

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	1199	aripiprazole ziprasidone carbostyrl	US-PGPUB; USPAT	OR	ON	2005/09/08 13:05
L2	342	(phenylpiperazin\$4 piperazin\$4) same (antipsychotic schizopphen\$4)	US-PGPUB; USPAT	OR	ON	2005/09/08 13:04
L3	1503	1 2	US-PGPUB; USPAT	OR	ON	2005/09/08 12:17
L4	16256	cyclodextrin	US-PGPUB; USPAT	OR	ON	2005/09/08 12:17
L5	117	3 and 4	US-PGPUB; USPAT	OR	ON	2005/09/08 12:17
L6	94598	inject\$4 and (pain\$4 irritat\$4 discomfort)	US-PGPUB; USPAT	OR	ON	2005/09/08 12:36
L7	314	1 and 6	US-PGPUB; USPAT	OR	ON	2005/09/08 12:36
L8	706859	@ad>"20020821"	US-PGPUB; USPAT	OR	ON	2005/09/08 12:37
L9	129	7 not 8	US-PGPUB; USPAT	OR	ON	2005/09/08 12:37
L10	73	(phenylpiperazin\$4 piperazin\$4) same carbostyrl	US-PGPUB; USPAT	OR	ON	2005/09/08 13:04
L11	120	aripiprazole	US-PGPUB; USPAT	OR	ON	2005/09/08 13:05
L12	185	10 11	US-PGPUB; USPAT	OR	ON	2005/09/08 13:05
L13	548200	soluble insoluble solubil\$4	US-PGPUB; USPAT	OR	ON	2005/09/08 13:05
L14	14	12 same 13	US-PGPUB; USPAT	OR	ON	2005/09/08 13:05
L15	2	14 not 8	US-PGPUB; USPAT	OR	ON	2005/09/08 13:05

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	44	aripiprazole	EPO; JPO; DERWENT	OR	ON	2005/09/08 14:17
L2	1	carbostyrl and (phenylpiperizin\$4 piperizin\$4)	EPO; JPO; DERWENT	OR	ON	2005/09/08 14:17
L3	45	1 2	EPO; JPO; DERWENT	OR	ON	2005/09/08 14:18
L4	8621	cyclodextrin	EPO; JPO; DERWENT	OR	ON	2005/09/08 14:18
L5	2	3 and 4	EPO; JPO; DERWENT	OR	ON	2005/09/08 14:18
L6	45	3 5	EPO; JPO; DERWENT	OR	ON	2005/09/08 14:18



FILE 'REGISTRY' ENTERED AT 14:46:27 ON 08 SEP 2005

L1 1 S ARIPIPAZOLE/CN  
SELECT L1 1- CHEM

FILE 'MEDLINE, EMBASE, BIOSIS, CAPLUS' ENTERED AT 14:47:05 ON 08 SEP 2005

L2 1505 S E1-8  
L3 1061 DUP REM L2 (444 DUPLICATES REMOVED)  
L4 1453 S ARIPIPAZOLE  
L5 4 S PIPERANZIN?  
L6 93371 S PIPERAZIN?  
L7 499 S DIHYDROCARBOSTYRIL  
L8 2334 S CARBOSTYRIL  
L9 52925 S CYCLODEXTRIN  
L10 1037 S L3 AND (L4 OR L5 OR L6 OR L7 OR L8)  
L11 2 S L10 AND L9  
L12 1035 S L10 NOT L11  
L13 1927086 S INJECT?  
L14 863095 S PAIN?  
L15 65945 S IRRITAT?  
L16 492091 S SOLUBIL?  
L17 46 S L12 AND (L14 OR L15 OR L16)  
L18 7 S L12 AND (L16 OR (L13 AND (L14 OR L15)))

L18 ANSWER 1 OF 7 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED.  
on STN

ACCESSION NUMBER: 2005279040 EMBASE  
TITLE: [New drugs in 2004].  
NEUE WIRKSTOFFE 2004.  
SOURCE: Tagliche Praxis, (2005) Vol. 46, No. 2, pp. 401-411.  
ISSN: 0494-464X CODEN: TAEGBC  
COUNTRY: Germany  
DOCUMENT TYPE: Journal; (Short Survey)  
FILE SEGMENT: 030 Pharmacology  
036 Health Policy, Economics and Management  
037 Drug Literature Index  
038 Adverse Reactions Titles  
LANGUAGE: German  
ENTRY DATE: Entered STN: 20050714  
Last Updated on STN: 20050714

L18 ANSWER 2 OF 7 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED.  
on STN

ACCESSION NUMBER: 2005230229 EMBASE  
TITLE: Risperidone: A review.  
AUTHOR: Moller H.-J.  
CORPORATE SOURCE: H.-J. Moller, Ludwig-Maximilians-University, Department of  
Psychiatry, Nussbaumstrasse 7, 80336 Munich, Germany.  
hans-juergen.moeller@med.uni-muenchen.de  
SOURCE: Expert Opinion on Pharmacotherapy, (2005) Vol. 6, No. 5,  
pp. 803-818.  
Refs: 99  
ISSN: 1465-6566 CODEN: EOPHF7  
COUNTRY: United Kingdom  
DOCUMENT TYPE: Journal; General Review  
FILE SEGMENT: 030 Pharmacology  
032 Psychiatry  
036 Health Policy, Economics and Management  
037 Drug Literature Index  
038 Adverse Reactions Titles  
039 Pharmacy  
LANGUAGE: English  
SUMMARY LANGUAGE: English  
ENTRY DATE: Entered STN: 20050609  
Last Updated on STN: 20050609

AB When the risk of agranulocytosis associated with clozapine, the prototype of the second-generation neuroleptics, became apparent, its prescription was restricted to patients refractory to classical neuroleptics such as chlorpromazine and haloperidol. This stimulated the development of several novel second-generation antipsychotics with a clinical profile similar to that of clozapine. These novel antipsychotics, which include risperidone, olanzapine and others, are characterised by different pharmacological structures, and also to a certain degree by different pharmacological mechanisms. Following the increased research on the novel second-generation antipsychotics, it became apparent that they not only have the advantage of better extrapyramidal tolerability than the classical neuroleptics, but also have a broader efficacy spectrum (i.e., advantages in the treatment of negative and depressive symptoms and cognitive disturbances in the context of schizophrenia). Risperidone was specifically designed by Paul Janssen as a combined 5-HT(2A) and D2 receptor antagonist, thus following the pharmacological mechanism thought to be responsible for the antipsychotic effects of clozapine. After its advent in the 1990s as the first novel second-generation antipsychotic, risperidone achieved worldwide acceptance. The following review gives an overview of the huge clinical database available for risperidone in the field of schizophrenia. .COPYRGHT. 2005 Ashley Publications Ltd.

L18 ANSWER 3 OF 7 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED.  
on STN

ACCESSION NUMBER: 2005227786 EMBASE  
TITLE: Emerging drugs in Tourette syndrome.  
AUTHOR: Silay Y.S.; Jankovic J.  
CORPORATE SOURCE: Dr. J. Jankovic, Baylor College of Medicine, Parkinson's  
Disease Center and Movement Disorders Clinic, Department of  
Neurology, 6550 Fannin, Houston, TX 77030, United States.  
josephj@bcm.tmc.edu  
SOURCE: Expert Opinion on Emerging Drugs, (2005) Vol. 10, No. 2,  
pp. 365-380.  
Refs: 155

ISSN: 1472-8214 CODEN: EOEDA3  
 COUNTRY: United Kingdom  
 DOCUMENT TYPE: Journal; General Review  
 FILE SEGMENT: 008 Neurology and Neurosurgery  
 032 Psychiatry  
 037 Drug Literature Index  
 038 Adverse Reactions Titles  
 LANGUAGE: English  
 SUMMARY LANGUAGE: English  
 ENTRY DATE: Entered STN: 20050609  
 Last Updated on STN: 20050609

AB Proper education of the patient is the first step in the treatment of Tourette syndrome (TS). Before deciding how to treat the patient, it is important to decide whether to treat the TS-related symptoms. Counselling and behavioural modification may be sufficient for those with mild symptoms. Medications, however, may be considered when symptoms begin to interfere with peer relationships, social interactions, academic or job performance, or with activities of daily living. Therapy must be individualised and the most troublesome symptoms should be targeted first. Antidopaminergic agents are clearly the most effective drugs in the treatment of tics. Although haloperidol and pimozide are the only drugs currently approved by the FDA for the treatment of TS, other dopamine receptor-blocking drugs and tetrabenazine, a dopamine depleting drug, as well as botulinum toxin injections, have been used to treat tics associated with TS. Carefully designed, comparative, longitudinal trials assessing the efficacy and adverse-effect profiles of these drugs, including tardive dyskinesia, are lacking. Selective serotonin reuptake inhibitors are recommended for the treatment of obsessive-compulsive behaviour: a common comorbidity. Psychostimulants, such as methylphenidate, are the treatment of choice for attention deficit hyperactivity disorder. Even though these drugs may transiently increase tics, this does not necessarily constitute a definite contraindication to the use of these drugs in patients with TS. Here, existing and emerging medical treatments in patients with tics and comorbid behavioural disorders associated with TS are reviewed. .COPYRG. 2005 Ashley Publications Ltd.

L18 ANSWER 4 OF 7 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED.  
 on STN

ACCESSION NUMBER: 2002210160 EMBASE  
 TITLE: Atypical antipsychotics: Revolutionary or incremental advance?  
 AUTHOR: Citrome L.; Volavka J.  
 CORPORATE SOURCE: L. Citrome, Nathan Kline Inst. Psychiat. Res., 140 Old Orangeburg Road, Orangeburg, NY 10962, United States.  
 citrome@nki.rfmh.org  
 SOURCE: Expert Review of Neurotherapeutics, (2002) Vol. 2, No. 1, pp. 69-88.  
 Refs: 158

ISSN: 1473-7175 CODEN: ERNXAR  
 COUNTRY: United Kingdom  
 DOCUMENT TYPE: Journal; General Review  
 FILE SEGMENT: 030 Pharmacology  
 032 Psychiatry  
 037 Drug Literature Index  
 038 Adverse Reactions Titles  
 LANGUAGE: English  
 SUMMARY LANGUAGE: English  
 ENTRY DATE: Entered STN: 20020708  
 Last Updated on STN: 20020708

AB The discovery of chlorpromazine half a century ago and the subsequent emergence of other first generation antipsychotics, heralded a new advance in the treatment of schizophrenia. However, these new medications were not always effective. Even when they reduced the positive symptoms of schizophrenia, they were not as helpful in the relief of other symptom domains of schizophrenia, such as negative symptoms, impaired cognition and persistent aggressivity. Clozapine was the first of the new second generation of antipsychotics. It was introduced in the USA specifically for the indication of treatment-refractory schizophrenia. However, clozapine's side effect burden has led to a search for its replacement. This quest has pointed out the limitations of our treatments for refractory patients, but has made available a variety of second generation antipsychotics that have raised our expectations. Furthermore, the atypical antipsychotics hold promise for the treatment of the nonpsychotic patient with mood dysregulation or acute agitation.

L18 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2004:433670 CAPLUS  
 DOCUMENT NUMBER: 140:400116  
 TITLE: Acute treatment of headache with phenothiazine antipsychotics  
 INVENTOR(S): Hale, Ron L.; Lloyd, Peter M.; Lu, Amy T.; Munzar, Patrik; Rabinowitz, Joshua D.; Skowronski, Roman  
 PATENT ASSIGNEE(S): Alexza Molecular Delivery Corporation, USA  
 SOURCE: U.S. Pat. Appl. Publ., 29 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004101481	A1	20040527	US 2003-719763	20031120
WO 2004047841	A1	20040610	WO 2003-US37426	20031120
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1565184	A1	20050824	EP 2003-787033	20031120
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			US 2002-429404P	P 20021126
			WO 2003-US37426	W 20031120

AB Methods for treating headaches with antipsychotics are provided. A kit for treating headache is also provided, comprising an antipsychotic and a device for rapid delivery of the antipsychotic.

L18 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2004:392439 CAPLUS  
 DOCUMENT NUMBER: 140:400095  
 TITLE: Stereoisomers of p-hydroxy-milnacipran, and therapeutic use  
 INVENTOR(S): Rariy, Roman V.; Heffernan, Michael; Buchwald, Stephen L.; Swager, Timothy M.  
 PATENT ASSIGNEE(S): Collegium Pharmaceutical, Inc., USA  
 SOURCE: PCT Int. Appl., 163 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004039320	A2	20040513	WO 2003-US33681	20031022
WO 2004039320	A3	20040624		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2503381	AA	20040513	CA 2003-2503381	20031022
US 2004142904	A1	20040722	US 2003-691465	20031022
PRIORITY APPLN. INFO.:			US 2002-421640P	P 20021025
			US 2002-423062P	P 20021101
			US 2003-445142P	P 20030205
			WO 2003-US33681	W 20031022

OTHER SOURCE(S): MARPAT 140:400095

AB The invention relates generally to the enantiomers of p-hydroxymilnacipran or congeners thereof. Biol. assays revealed that racemic

p-hydroxymilnacipran is approx. equipotent in inhibiting serotonin and norepinephrine uptake (IC<sub>50</sub> = 28.6 nM for norepinephrine, IC<sub>50</sub> = 21.7 nM for serotonin). Interestingly, (+)-p-hydroxymilnacipran is a more potent inhibitor of norepinephrine uptake than serotonin uptake (IC<sub>50</sub> = 10.3 nM for norepinephrine, IC<sub>50</sub> = 22 nM for serotonin). In contrast, (-)-p-hydroxymilnacipran is a more potent inhibitor of serotonin uptake compared to norepinephrine uptake (IC<sub>50</sub> = 88.5 nM for norepinephrine, IC<sub>50</sub> = 40.3 nM for serotonin). The invention also relates to salts and prodrug forms of the above compds. In certain embodiments, the compds. of the invention and a pharmaceutically acceptable excipient are combined to prepare a formulation for administration to a patient. Finally, the invention relates to methods of treating mammals suffering from various afflictions, e.g., depression, chronic pain, or fibromyalgia, comprising administering to a mammal in need thereof a therapeutically effective amount of a compound of the invention. Compound preparation is included.

L18 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:261676 CAPLUS

DOCUMENT NUMBER: 138:276308

TITLE: Preparation of aripiprazole with low hygroscopicity

INVENTOR(S): Bando, Takuji; Aoki, Satoshi; Kawasaki, Junichi; Ishigami, Makoto; Taniguchi, Youichi; Yabuuchi, Tsuyoshi; Fujimoto, Kiyoshi; Nishioka, Yoshihiro; Kobayashi, Noriyuki; Fujimura, Tsutomu; Takahashi, Masanori; Abe, Kaoru; Nakagawa, Tomonori; Shinham, Koichi; Utsumi, Naoto; Tominaga, Michiaki; Oi, Yoshihiro; Yamada, Shohei; Tomikawa, Kenji

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 174 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003026659	A1	20030403	WO 2002-JP9858	20020925
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2379005	AA	20030325	CA 2002-2379005	20020327
CA 2426921	AA	20030403	CA 2002-2426921	20020925
BR 2002005391	A	20030729	BR 2002-5391	20020925
EP 1330249	A1	20030730	EP 2002-782507	20020925
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2003212852	A2	20030730	JP 2002-279085	20020925
EP 1419776	A2	20040519	EP 2004-2427	20020925
EP 1419776	A3	20040616		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
ZA 2003000113	A	20040806	ZA 2003-113	20020925
RU 2259366	C2	20050827	RU 2003-101334	20020925
US 2004058935	A1	20040325	US 2003-333244	20030616
JP 2004256555	A2	20040916	JP 2004-156130	20040526
PRIORITY APPLN. INFO.:			JP 2001-290645	A 20010925
			JP 2001-348276	A 20011114
			CA 2002-2379005	A 20020327
			EP 2002-782507	A3 20020925
			JP 2002-279085	A3 20020925
			WO 2002-JP9858	W 20020925

AB The present invention provides low hygroscopic forms of aripiprazole and processes for the preparation which will not convert to a hydrate or lose their original solubility even when a pharmaceutical containing the aripiprazole (anhydrous) crystals is stored for an extended period. Thus, aripiprazole hydrate was heated for 18 h at 100° and then for 3 h at 120° to produce

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the crystals of the anhydrous form of aripiprazole. A tablet formulation contained aripiprazole 5, starch 131, Mg stearate 4, and lactose 60 mg.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT